



# STIC Search Report

## Biotech-Chem Library

STIC Database Tracking Number: 10616945

TO: Elli Peselev  
Art Unit: 1623  
Location: REM/5A15/5C18  
Serial Number: 10/616945

Thursday, May 19, 2005

From: Beverly Shears  
Location: Biotech-Chem Library  
REM 1A54  
Phone: 571-272-2528  
[beverly.shears@uspto.gov](mailto:beverly.shears@uspto.gov)

### Search Notes

**Scientific and Technical Information Center  
SEARCH REQUEST FORM**

Requester's Full Name: ELL, Peter Examiner #: 62218 Date: 5/13/05  
 Art Unit: 1613 Phone Number: 2-0459 Serial Number: 10/616,945  
 Location (Bldg/Room#): REM 5A15 (Mailbox #): REM 5C13 Results Format Preferred (circle): PAPER DISK  
 \*\*\*\*\*

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

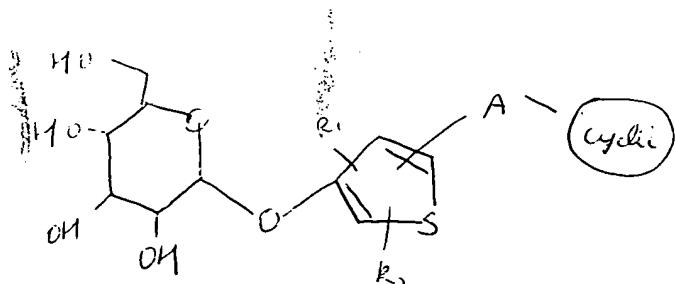
Title of Invention: ice attachment

Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Date: \_\_\_\_\_

Search Topic:  
*Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.*

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



SERIAL NUMBER 10/616,945	FILING OR 371(c) DATE 07/11/2003 RULE	CLASS 514	GROUP ART UNIT 1614	ATTORNEY DOCKET NO. 02481.1832
<b>APPLICANTS</b> Heiner Glombik, Hofheim, GERMANY; Wendelin Frick, Hunstetten-Beuerbach, GERMANY; Hubert Heuer, Schwabenheim, GERMANY; Werner Kramer, Mainz-Laubenheim, GERMANY; Harm Brummerhop, Frankfurt, GERMANY; Oliver Plettenburg, Hattersheim, GERMANY;				
<b>** CONTINUING DATA *****</b> <b>** FOREIGN APPLICATIONS *****</b> GERMANY 10231370.9-43 07/11/2002				
<b>IF REQUIRED, FOREIGN FILING LICENSE GRANTED</b> ** 11/24/2003				

**STAFF USE ONLY**

Searcher: Beverly e2528

Type of Search

-NA Sequence (#)

AA Sequence (#)

Structure (#)

Vendors and cost where applicable

STN  Dialog

Questel/Orbit  Lexis/Nexis

Westlaw  WWW/Internet

In-house sequence systems

Searcher Phone #:

Searcher Location:

Peselev, E.  
10/616945

10/616945

FILE 'REGISTRY' ENTERED AT 09:44:51 ON 19 MAY 2005  
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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4  
DICTIONARY FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

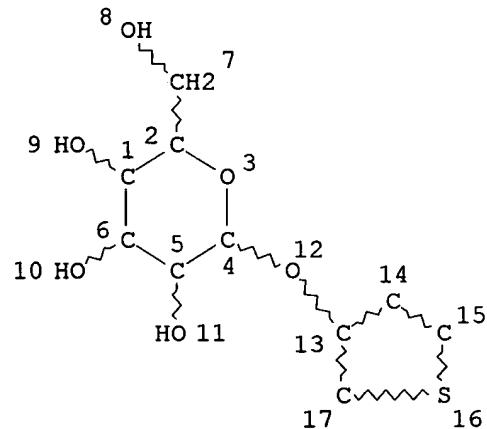
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

L1 STR



NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

Searcher : Shears 571-272-2528

STEREO ATTRIBUTES: NONE

L3 58 SEA FILE=REGISTRY SSS FUL L1

L4 58 SEA FILE=REGISTRY ABB=ON PLU=ON L3 AND NR=&gt;3 ← At least three(3) rings present

FILE 'CAPLUS' ENTERED AT 09:44:56 ON 19 MAY 2005

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FILE COVERS 1907 - 19 May 2005 VOL 142 ISS 21

FILE LAST UPDATED: 18 May 2005 (20050518/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

L5 1 L4

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:60527 CAPLUS

DOCUMENT NUMBER: 140:111628

TITLE: Synthesis and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels

INVENTOR(S): Glombik, Heiner; Frick, Wendelin; Heuer, Hubert; Kramer, Werner; Brummerhop, Harm; Plettenburg, Oliver

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

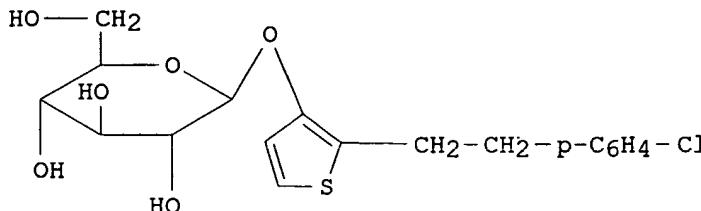
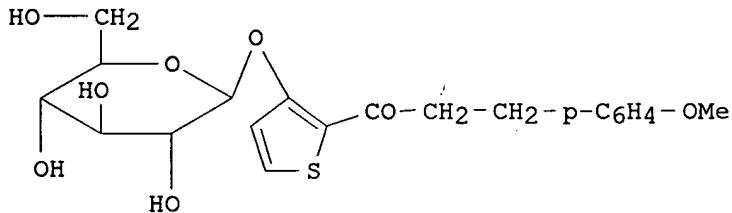
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007517	A1	20040122	WO 2003-EP6841	20030627
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,			

BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
NE, SN, TD, TG  
DE 10231370 A1 20040205 DE 2002-10231370 20020711  
CA 2493391 AA 20040122 CA 2003-2493391 20030627  
BR 2003012513 A 20050412 BR 2003-12513 20030627  
EP 1523488 A1 20050420 EP 2003-763662 20030627  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,  
PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
US 2004138143 A1 20040715 US 2003-616945 20030711  
PRIORITY APPLN. INFO.: DE 2002-10231370 A 20020711  
WO 2003-EP6841 W 20030627

OTHER SOURCE(S): MARPAT 140:111628  
GI



AB Title compds., e.g. (I), and their physiol.-acceptable salts, were prepared and evaluated for use in lowering blood sugar levels and for use as anti-diabetics. Thus, 2-acetyl-3-hydroxythiophene was reacted with tetra-O-acetyl- $\alpha$ -D-glucopyranosyl bromide and the resulting intermediate O-deprotected to give I. Compound (II) was prepared by similar methods. In in vitro tests measuring the uptake of <sup>14</sup>C-labeled glucose using rabbit, rat, or pig intestinal brush-border membranes, II had IC<sub>25</sub> 0.9  $\mu$ M.

IT 647834-13-7P 647834-14-8P 647834-15-9P  
647834-17-1P 647834-19-3P 647834-40-0P  
647834-48-8P 647834-49-9P 647834-50-2P  
647834-52-4P 647834-55-7P 647834-59-1P  
647834-61-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

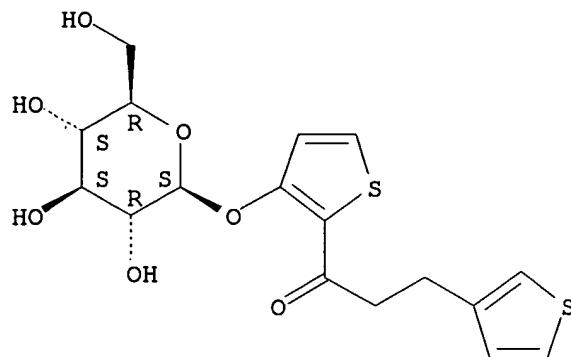
10/616945

(preparation and therapeutic evaluation of thiophene glycosides for use  
in the treatment of diabetes or for lowering blood sugar levels)

RN 647834-13-7 CAPLUS

CN 1-Propanone, 1-[3-( $\beta$ -D-glucopyranosyloxy)-2-thienyl]-3-(3-thienyl)- (9CI) (CA INDEX NAME)

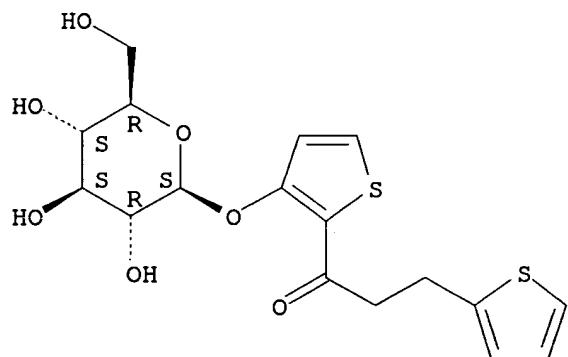
Absolute stereochemistry.



RN 647834-14-8 CAPLUS

CN 1-Propanone, 1-[3-( $\beta$ -D-glucopyranosyloxy)-2-thienyl]-3-(2-thienyl)- (9CI) (CA INDEX NAME)

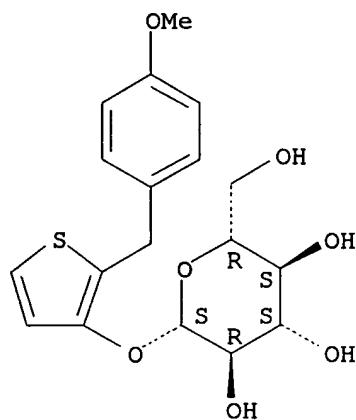
Absolute stereochemistry.



RN 647834-15-9 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[(4-methoxyphenyl)methyl]-3-thienyl (9CI)  
(CA INDEX NAME)

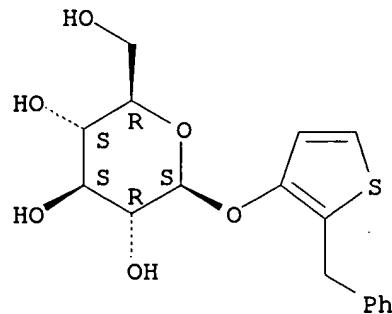
Absolute stereochemistry.



RN 647834-17-1 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-(phenylmethyl)-3-thienyl (9CI) (CA INDEX NAME)

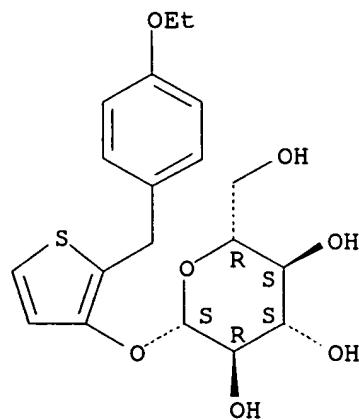
Absolute stereochemistry.



RN 647834-19-3 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[{(4-ethoxyphenyl)methyl}-3-thienyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

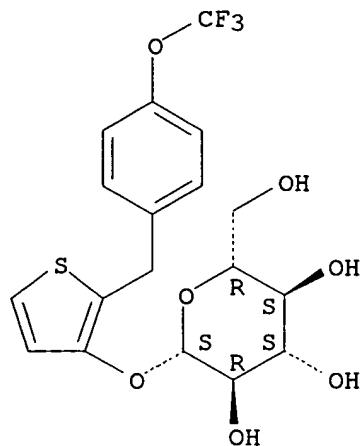


10/616945

RN 647834-40-0 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[[4-(trifluoromethoxy)phenyl]methyl]-3-thienyl (9CI) (CA INDEX NAME)

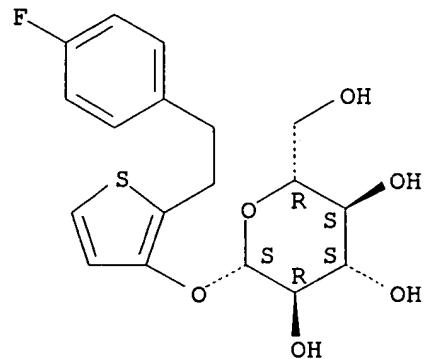
Absolute stereochemistry.



RN 647834-48-8 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[2-(4-fluorophenyl)ethyl]-3-thienyl (9CI) (CA INDEX NAME)

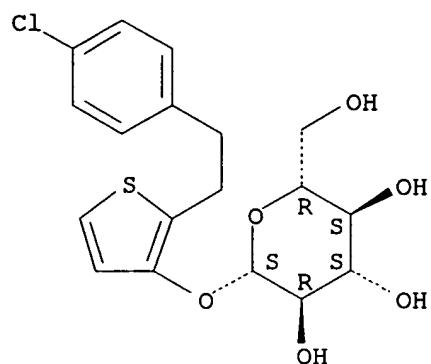
Absolute stereochemistry.



RN 647834-49-9 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[2-(4-chlorophenyl)ethyl]-3-thienyl (9CI) (CA INDEX NAME)

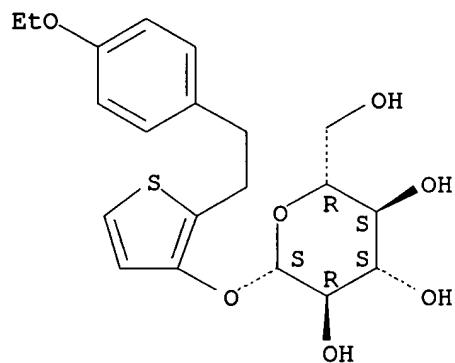
Absolute stereochemistry.



RN 647834-50-2 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[2-(4-ethoxyphenyl)ethyl]-3-thienyl (9CI)  
(CA INDEX NAME)

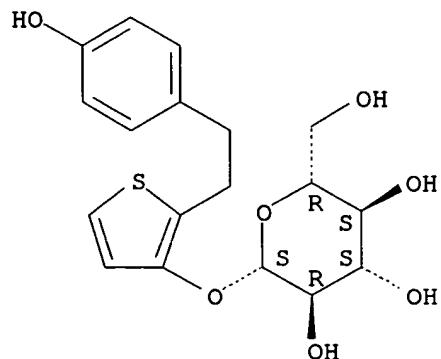
Absolute stereochemistry.



RN 647834-52-4 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[2-(4-hydroxyphenyl)ethyl]-3-thienyl (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

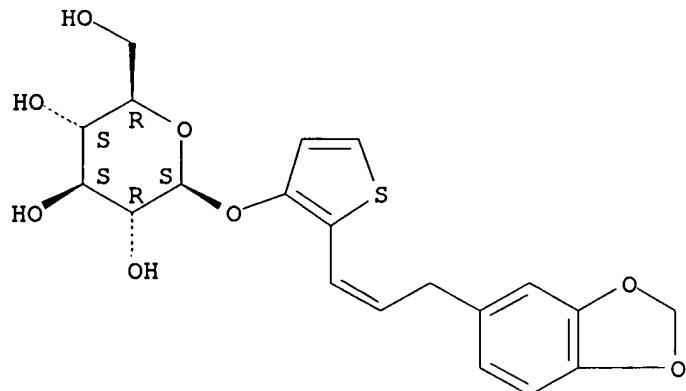


RN 647834-55-7 CAPLUS

10/616945

CN  $\beta$ -D-Glucopyranoside, 2-[3-(1,3-benzodioxol-5-yl)-1-propenyl]-3-thienyl (9CI) (CA INDEX NAME)

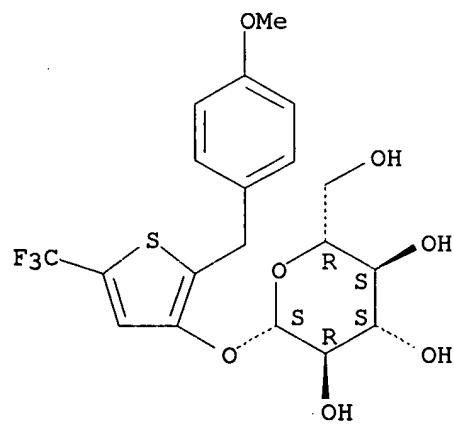
Absolute stereochemistry.  
Double bond geometry unknown.



RN 647834-59-1 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[(4-methoxyphenyl)methyl]-5-(trifluoromethyl)-3-thienyl (9CI) (CA INDEX NAME)

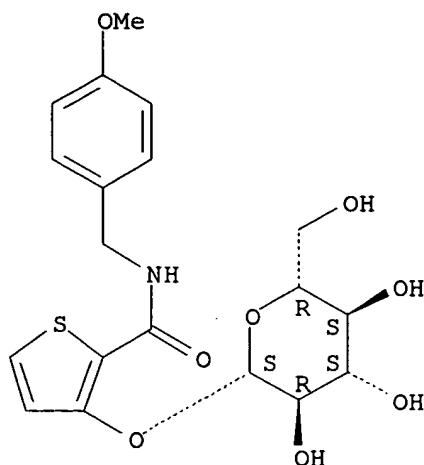
Absolute stereochemistry.



RN 647834-61-5 CAPLUS

CN 2-Thiophenecarboxamide, 3-( $\beta$ -D-glucopyranosyloxy)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 647833-67-8P

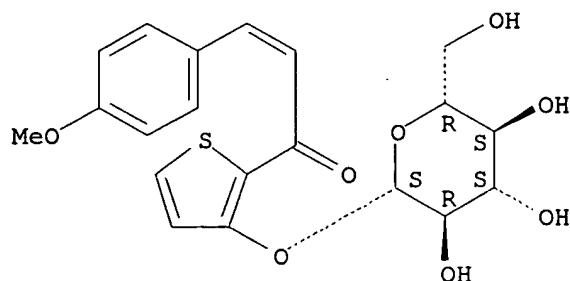
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)(preparation and therapeutic evaluation of thiophene glycosides for use  
in the treatment of diabetes or for lowering blood sugar levels)

RN 647833-67-8 CAPLUS

CN 2-Propen-1-one, 1-[3-( $\beta$ -D-glucopyranosyloxy)-2-thienyl]-3-(4-  
methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

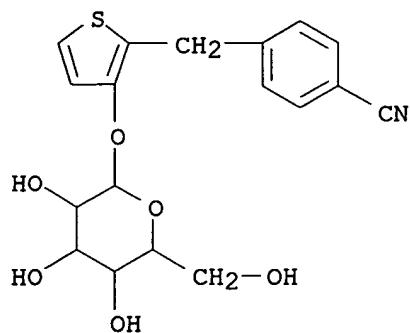


IT 647833-62-3P 647833-85-0P 647834-16-0P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic  
use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or  
reagent); USES (Uses)(preparation and therapeutic evaluation of thiophene glycosides for use  
in the treatment of diabetes or for lowering blood sugar levels)

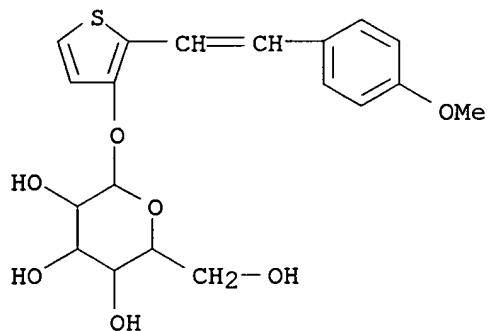
RN 647833-62-3 CAPLUS

CN Benzonitrile, 4-[[3-(hexopyranosyloxy)-2-thienyl]methyl]- (9CI) (CA  
INDEX NAME)

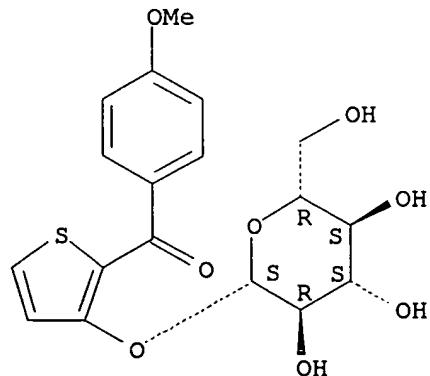


RN 647833-85-0 CAPLUS

CN Hexopyranoside, 2-[2-(4-methoxyphenyl)ethenyl]-3-thienyl (9CI) (CA INDEX NAME)



RN 647834-16-0 CAPLUS

CN Methanone, [3-( $\beta$ -D-glucopyranosyloxy)-2-thienyl](4-methoxyphenyl)- (9CI) (CA INDEX NAME)*Absolute stereochemistry.*

IT 647834-05-7P 647834-07-9P 647834-09-1P

647834-11-5P 647834-18-2P 647834-20-6P

647834-21-7P 647834-22-8P 647834-23-9P

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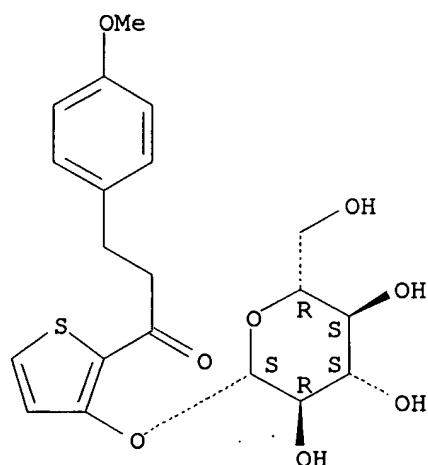
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)

(preparation and therapeutic evaluation of thiophene glycosides for use  
 in the treatment of diabetes or for lowering blood sugar levels)

RN 647834-05-7 CAPLUS

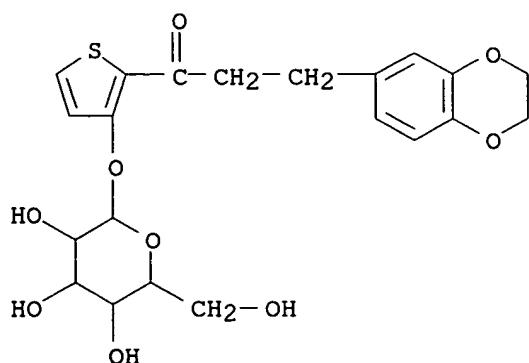
CN 1-Propanone, 1-[3-( $\beta$ -D-glucopyranosyloxy)-2-thienyl]-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 647834-07-9 CAPLUS

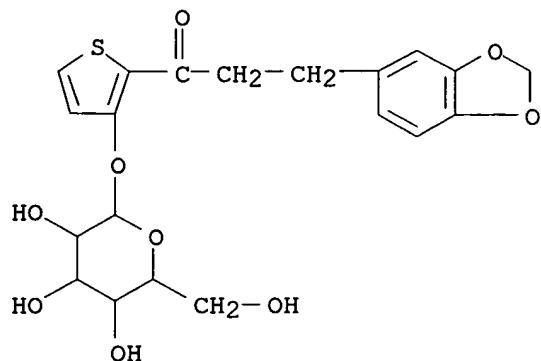
CN 1-Propanone, 3-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-[3-(hexopyranosyloxy)-2-thienyl]- (9CI) (CA INDEX NAME)



RN 647834-09-1 CAPLUS

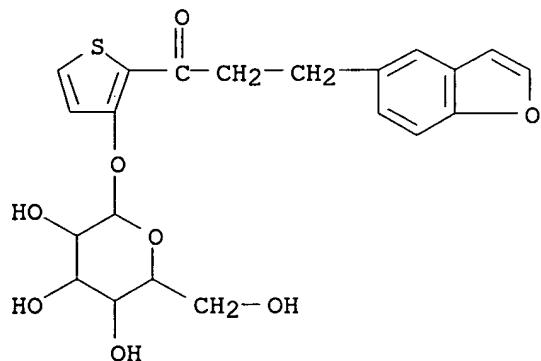
10/616945

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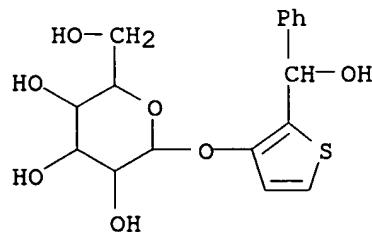
RN 647834-11-5 CAPLUS

CN 1-Propanone, 3-(5-benzofuranyl)-1-[3-(hexopyranosyloxy)-2-thienyl]- (9CI) (CA INDEX NAME)



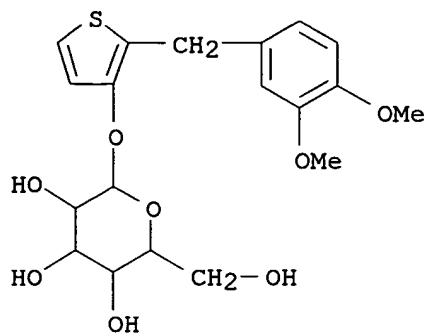
RN 647834-18-2 CAPLUS

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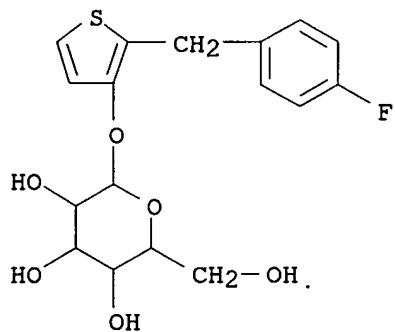


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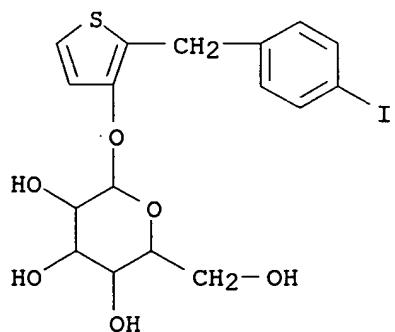
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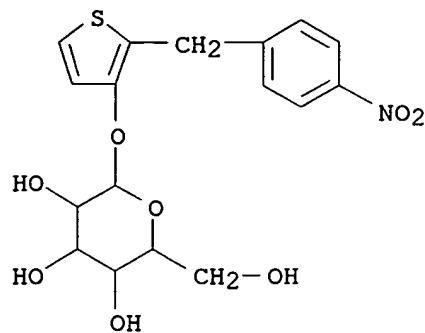
RN 647834-21-7 CAPLUS  
 CN Hexopyranoside, 2-[(4-fluorophenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)



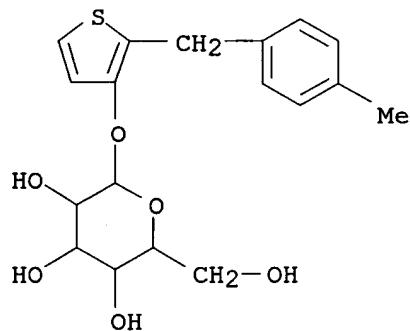
RN 647834-22-8 CAPLUS  
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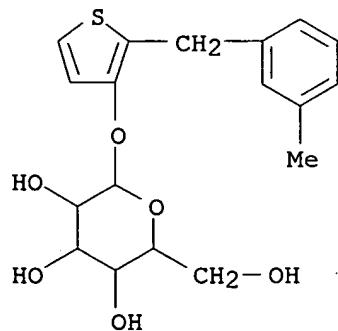
RN 647834-23-9 CAPLUS  
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RN 647834-24-0 CAPLUS

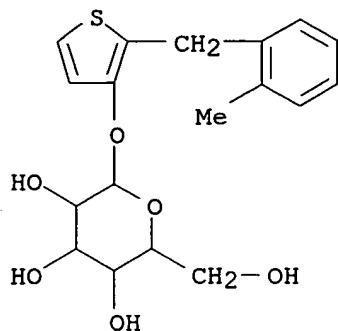
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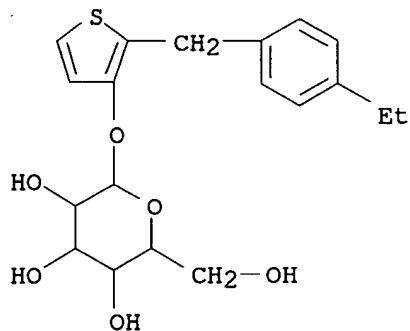
CN Hexopyranoside, 2-[ (3-methylphenyl)methyl]-3-thienyl (9CI) (CA INDEX  
NAME)

RN 647834-26-2 CAPLUS

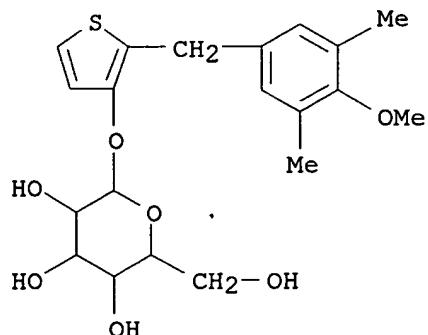
CN Hexopyranoside, 2-[ (2-methylphenyl)methyl]-3-thienyl (9CI) (CA INDEX  
NAME)



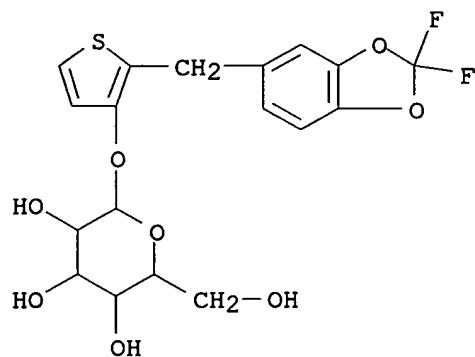
RN 647834-27-3 CAPLUS  
 CN Hexopyranoside, 2-[4-(4-ethylphenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)



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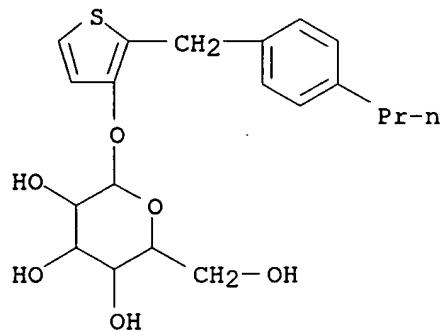


RN 647834-29-5 CAPLUS  
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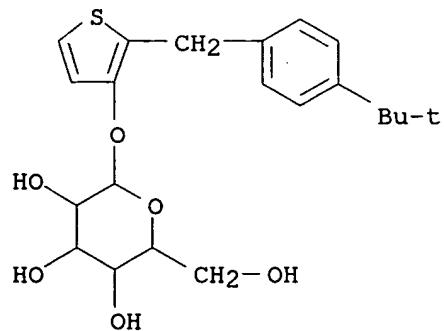
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CN Hexopyranoside, 2-[ (4-propylphenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)



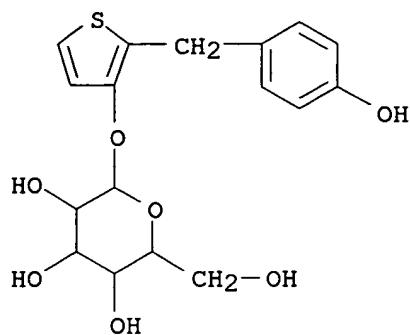
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CN Hexopyranoside, 2-[ [4-(1,1-dimethylethyl)phenyl]methyl]-3-thienyl (9CI) (CA INDEX NAME)

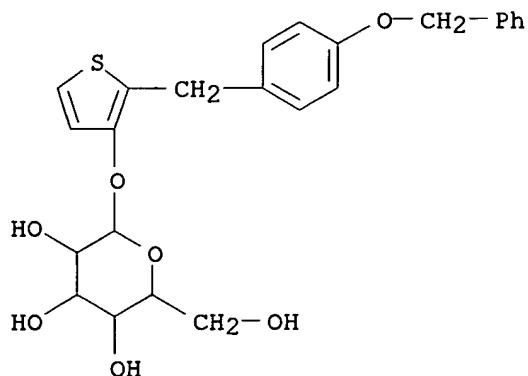


RN 647834-32-0 CAPLUS

CN Hexopyranoside, 2-[ (4-hydroxyphenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)

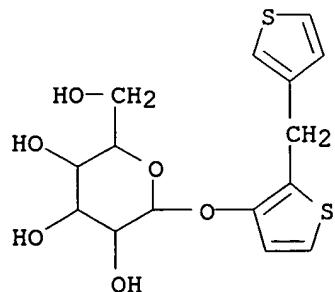


RN 647834-33-1 CAPLUS

CN Hexopyranoside, 2-[4-(phenylmethoxy)phenyl]methyl-3-thienyl (9CI)  
(CA INDEX NAME)

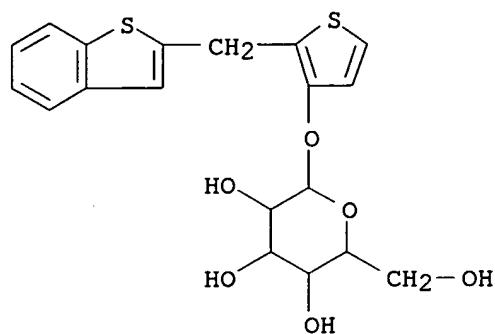
RN 647834-34-2 CAPLUS

CN Hexopyranoside, 2-(3-thienylmethyl)-3-thienyl (9CI) (CA INDEX NAME)



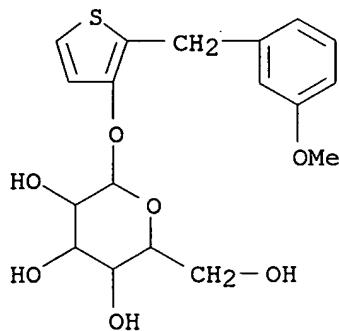
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CN Hexopyranoside, 2-(benzo[b]thien-2-ylmethyl)-3-thienyl (9CI) (CA INDEX NAME)



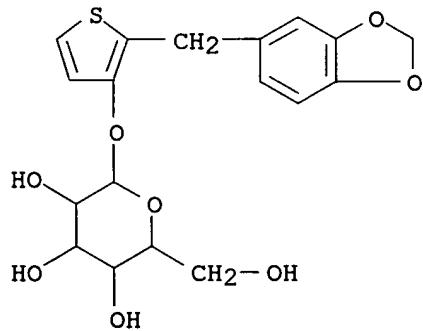
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CN Hexopyranoside, 2-[ (3-methoxyphenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)



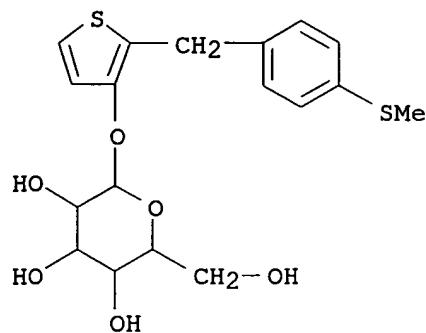
RN 647834-37-5 CAPLUS

CN Hexopyranoside, 2-[ (1,3-benzodioxol-5-ylmethyl)-3-thienyl (9CI) (CA INDEX NAME)

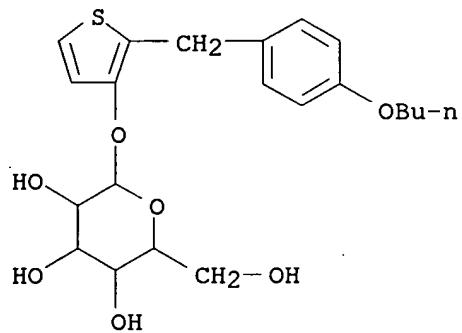


RN 647834-38-6 CAPLUS

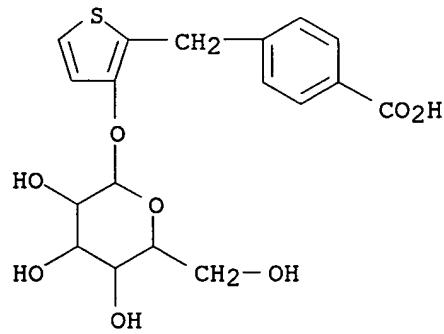
CN Hexopyranoside, 2-[ [4-(methylthio)phenyl]methyl]-3-thienyl (9CI) (CA INDEX NAME)



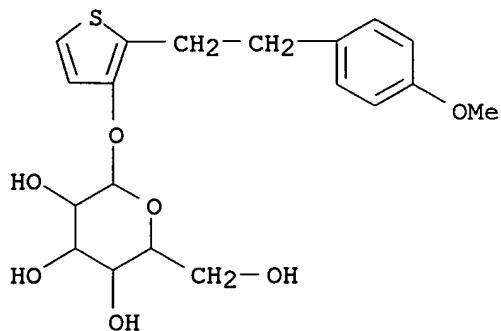
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 CN Hexopyranoside, 2-[ (4-butoxyphenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)



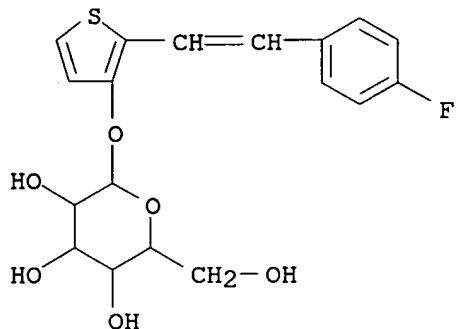
RN 647834-41-1 CAPLUS  
 CN Benzoic acid, 4-[[3-(hexopyranosyloxy)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



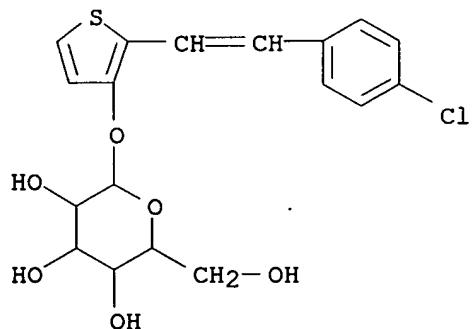
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 CN Hexopyranoside, 2-[2-(4-methoxyphenyl)ethyl]-3-thienyl (9CI) (CA INDEX NAME)



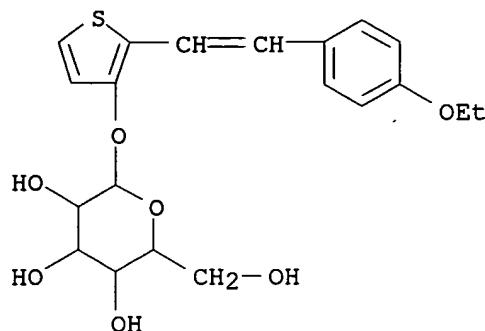
RN 647834-43-3 CAPLUS  
 CN Hexopyranoside, 2-[2-(4-fluorophenyl)ethenyl]-3-thienyl (9CI) (CA INDEX NAME)



RN 647834-44-4 CAPLUS  
 CN Hexopyranoside, 2-[2-(4-chlorophenyl)ethenyl]-3-thienyl (9CI) (CA INDEX NAME)

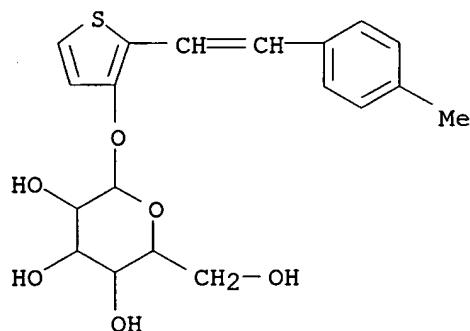


RN 647834-45-5 CAPLUS  
 CN Hexopyranoside, 2-[2-(4-ethoxyphenyl)ethenyl]-3-thienyl (9CI) (CA INDEX NAME)



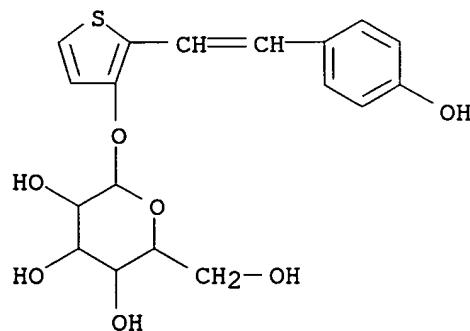
RN 647834-46-6 CAPLUS

CN Hexopyranoside, 2-[2-(4-methylphenyl)ethenyl]-3-thienyl (9CI) (CA INDEX NAME)



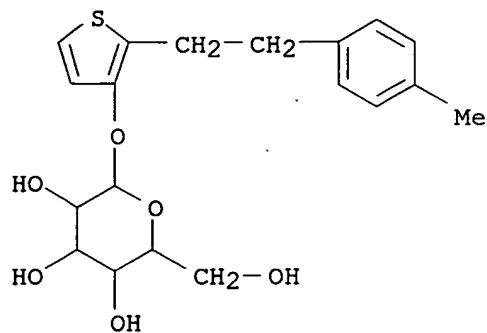
RN 647834-47-7 CAPLUS

CN Hexopyranoside, 2-[2-(4-hydroxyphenyl)ethenyl]-3-thienyl (9CI) (CA INDEX NAME)

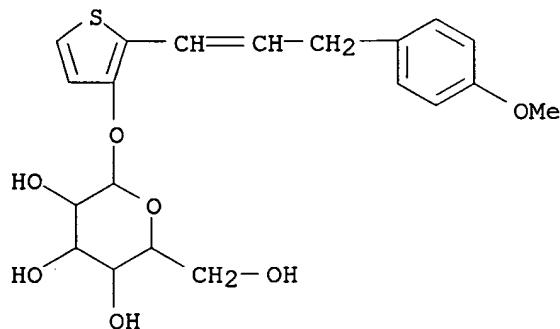


RN 647834-51-3 CAPLUS

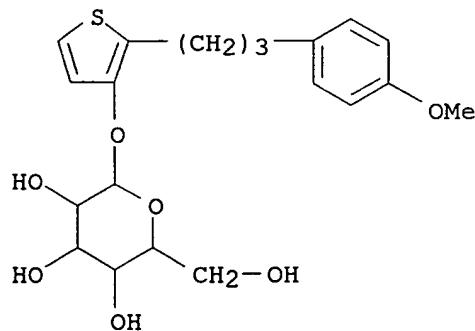
CN Hexopyranoside, 2-[2-(4-methylphenyl)ethyl]-3-thienyl (9CI) (CA INDEX NAME)



RN 647834-53-5 CAPLUS

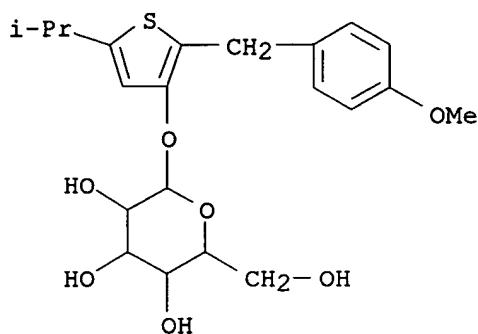
CN Hexopyranoside, 2-[3-(4-methoxyphenyl)-1-propenyl]-3-thienyl (9CI)  
(CA INDEX NAME)

RN 647834-54-6 CAPLUS

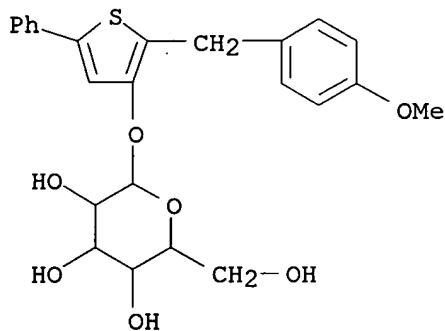
CN Hexopyranoside, 2-[3-(4-methoxyphenyl)propyl]-3-thienyl (9CI) (CA  
INDEX NAME)

RN 647834-56-8 CAPLUS

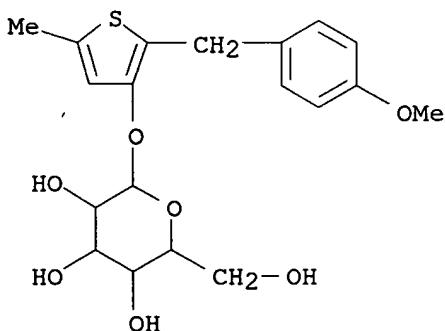
CN Hexopyranoside, 2-[ (4-methoxyphenyl)methyl]-5-(1-methylethyl)-3-  
thienyl (9CI) (CA INDEX NAME)



RN 647834-57-9 CAPLUS

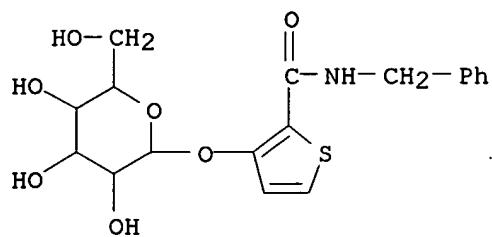
CN Hexopyranoside, 2-[(4-methoxyphenyl)methyl]-5-phenyl-3-thienyl (9CI)  
(CA INDEX NAME)

RN 647834-58-0 CAPLUS

CN Hexopyranoside, 2-[(4-methoxyphenyl)methyl]-5-methyl-3-thienyl (9CI)  
(CA INDEX NAME)

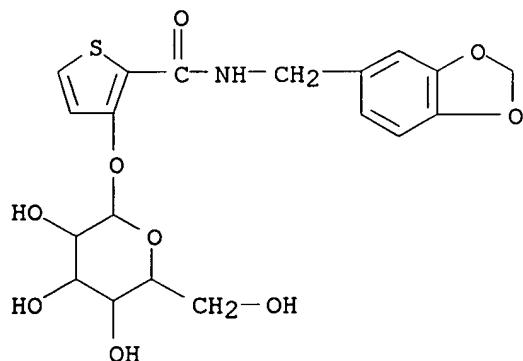
RN 647834-60-4 CAPLUS

CN 2-Thiophenecarboxamide, 3-(hexopyranosyloxy)-N-(phenylmethyl)- (9CI)  
(CA INDEX NAME)



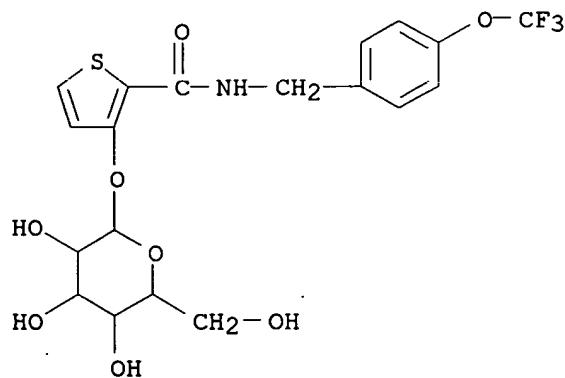
RN 647834-62-6 CAPLUS

CN 2-Thiophenecarboxamide, N-(1,3-benzodioxol-5-ylmethyl)-3-(hexopyranosyloxy)- (9CI) (CA INDEX NAME)



RN 647834-63-7 CAPLUS

CN 2-Thiophenecarboxamide, 3-(hexopyranosyloxy)-N-[{4-(trifluoromethoxy)phenyl}methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L6 0 L4

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 17 May 2005 (20050517/PD)

FILE LAST UPDATED: 17 May 2005 (20050517/ED)

HIGHEST GRANTED PATENT NUMBER: US6895596

HIGHEST APPLICATION PUBLICATION NUMBER: US2005102725

CA INDEXING IS CURRENT THROUGH 17 May 2005 (20050517/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 17 May 2005 (20050517/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2005

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
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>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

L7 1 L4

L7 ANSWER 1 OF 1 USPATFULL on STN

ACCESSION NUMBER: 2004:178973 USPATFULL

TITLE: Novel thiophene glycoside derivatives, processes

10/616945

for the preparation, medicaments comprising these  
compounds, and the use thereof  
INVENTOR(S) : Glombik, Heiner, Hofheim, GERMANY, FEDERAL REPUBLIC  
OF  
Frick, Wendelin, Hunstetten-Beuerbach, GERMANY,  
FEDERAL REPUBLIC OF  
Heuer, Hubert, Schwabenheim, GERMANY, FEDERAL  
REPUBLIC OF  
Kramer, Werner, Mainz-Laubenheim, GERMANY, FEDERAL  
REPUBLIC OF  
Brummerhop, Harm, Frankfurt, GERMANY, FEDERAL  
REPUBLIC OF  
Plettenburg, Oliver, Hattersheim, GERMANY, FEDERAL  
REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004138143	A1	20040715
APPLICATION INFO.:	US 2003-616945	A1	20030711 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2002-10231370	20020711
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE 202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1666	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB Novel thiophene glycoside derivatives of the formula I		##STR1##

in which the radicals have the stated meanings, and the  
physiologically tolerated salts thereof and processes for their  
preparation are disclosed. The compounds are suitable, for example,  
as antidiabetics.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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L8 0 L4

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FILE CONTENT: 1988-PRESENT (VOL 142 ISS 20) (20050513/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

Searcher : Shears 571-272-2528

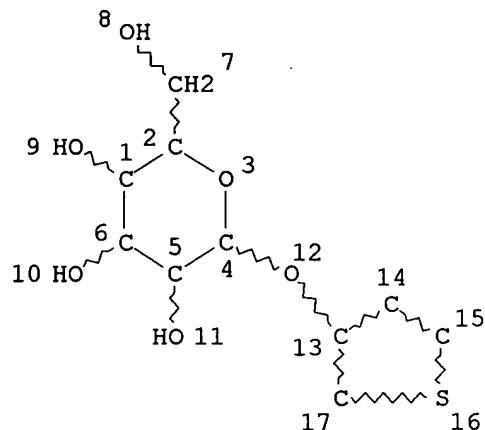
10/616945

US 6861546 01 MAR 2005  
DE 10335950 24 FEB 2005  
EP 1518545 30 MAR 2005  
JP 2005051077 24 FEB 2005  
WO 2005035474 21 APR 2005

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

L1 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L10 2 SEA FILE=MARPAT SSS FUL L1 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 5026 ITERATIONS  
SEARCH TIME: 00.00.05

2 ANSWERS

L10 ANSWER 1 OF 2 MARPAT COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 141:38811 MARPAT  
TITLE: Synthesis of fluoroglycoside heterocyclic derivatives for use as antidiabetic pharmaceutical products  
INVENTOR(S): Frick, Wendelin; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Brummerhop, Harm; Plettenburg, Oliver  
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany  
SOURCE: PCT Int. Appl., 73 pp.

Searcher : Shears 571-272-2528

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

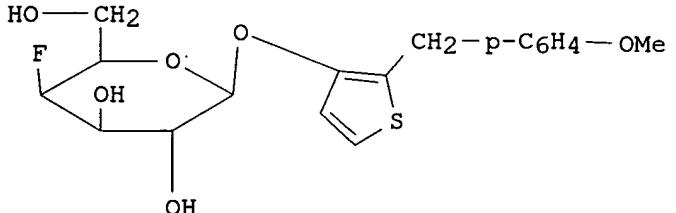
German

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

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WO 2004052903	A1	20040624	WO 2003-EP13455	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10258008	A1	20040708	DE 2002-10258008	20021212
US 2004259819	A1	20041223	US 2003-734573	20031212
PRIORITY APPLN. INFO.:			DE 2002-10258008	20021212
			US 2003-466449P	20030429
			WO 2003-EP13455	20031128

GI



AB The invention relates to substituted fluoroglycoside heterocyclic derivs., e.g. (I), to their physiol. tolerated salts, and to methods for the preparation thereof. Title compds. can be used, for example, as antidiabetic agents. Thus, 2,3,6-tri-O-acetyl-4-deoxy-4-fluoro- $\alpha$ -D-galactopyranosyl bromide was reacted with (3-hydroxy-2-thienyl)(4-methoxyphenyl)-methanone and the product deacetylated to give I. In in vitro tests measuring the uptake of 14C-labeled glucose using rabbit gastrointestinal brush-border membrane vesicles, I had IC50 0.3  $\mu$ M, compared with 16  $\mu$ M for Phlorizin control.

IC ICM C07H017-00  
ICS C07H017-02; A61K031-70; A61P003-10

CC 33-3 (Carbohydrates)  
Section cross-reference(s): 25, 27, 28, 63

ST antidiabetic heterocyclic benzene glycoside fluorinated prepn

IT Alcohols, preparation  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(fluoro; preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

IT Autoimmune disease  
 (insulin-dependent diabetes mellitus; preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

IT Diabetes mellitus  
 (insulin-dependent; preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

IT Diabetes mellitus  
 (non-insulin-dependent; preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

IT Antidiabetic agents  
 (preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

IT Glycosides  
 Heterocyclic compounds  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

IT 702638-21-9P 702638-22-0P 702638-23-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

IT 3601-36-3 7803-57-8, Hydrazine hydrate 14049-03-7,  
 3-Deoxy-3-fluoro-D-glucose 15836-30-3 19488-48-3 29218-07-3,  
 4-Deoxy-4-fluoro-D-glucose 40010-20-6, 4-Deoxy-4-fluoro-D-galactose  
 63218-45-1 152595-64-7 202289-38-1 647833-71-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

IT 84065-98-5P 98807-61-5P 130818-74-5P 139200-04-7P 148123-78-8P  
 461025-92-3P 701936-31-4P 701936-32-5P 701936-33-6P  
 701936-34-7P 702638-17-3P 702638-18-4P 702638-19-5P  
 702638-20-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)  
 (preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

IT 702638-24-2P 702638-25-3P 702638-26-4P 702638-27-5P  
 702638-28-6P 702638-29-7P 702638-30-0P 702638-31-1P  
 702638-32-2P 702638-33-3P 702638-34-4P 702638-35-5P  
 702638-36-6P 702638-37-7P 702638-38-8P 702638-39-9P  
 702638-40-2P 702638-41-3P 702638-42-4P 702638-43-5P  
 702638-44-6P 702638-45-7P 702638-46-8P 702638-47-9P  
 702638-48-0P 702638-49-1P 702638-50-4P 702638-51-5P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

L10 ANSWER 2 OF 2 MARPAT COPYRIGHT 2005 ACS on STN

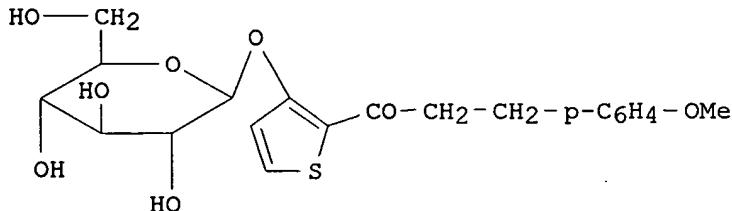
ACCESSION NUMBER: 140:111628 MARPAT

TITLE: Synthesis and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or

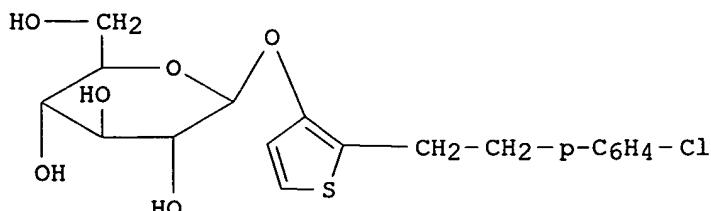
for lowering blood sugar levels  
**INVENTOR(S):** Glombik, Heiner; Frick, Wendelin; Heuer, Hubert;  
 Kramer, Werner; Brummerhop, Harm; Plettenburg,  
 Oliver  
**PATENT ASSIGNEE(S):** Aventis Pharma Deutschland GmbH, Germany  
**SOURCE:** PCT Int. Appl., 84 pp.  
**CODEN:** PIXXD2  
**DOCUMENT TYPE:** Patent  
**LANGUAGE:** German  
**FAMILY ACC. NUM. COUNT:** 1  
**PATENT INFORMATION:**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007517	A1	20040122	WO 2003-EP6841	20030627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10231370	A1	20040205	DE 2002-10231370	20020711
CA 2493391	AA	20040122	CA 2003-2493391	20030627
BR 2003012513	A	20050412	BR 2003-12513	20030627
EP 1523488	A1	20050420	EP 2003-763662	20030627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004138143	A1	20040715	US 2003-616945	20030711
<b>PRIORITY APPLN. INFO.:</b>			DE 2002-10231370	20020711
			WO 2003-EP6841	20030627

GI



I



II

AB Title compds., e.g. (I), and their physiol.-acceptable salts, were prepared and evaluated for use in lowering blood sugar levels and for use as anti-diabetics. Thus, 2-acetyl-3-hydroxythiophene was reacted with tetra-O-acetyl- $\alpha$ -D-glucopyranosyl bromide and the resulting intermediate O-deprotected to give I. Compound (II) was prepared by similar methods. In in vitro tests measuring the uptake of <sup>14</sup>C-labeled glucose using rabbit, rat, or pig intestinal brush-border membranes, II had IC<sub>25</sub> 0.9  $\mu$ M.

IC ICM C07H017-00  
 ICS A61K031-7042; A61P007-00

CC 33-3 (Carbohydrates)  
 Section cross-reference(s): 1, 27, 63

ST thiophene glycoside prepn antidiabetic diabetes blood sugar

IT Autoimmune disease  
 (insulin-dependent diabetes mellitus; preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)

IT Diabetes mellitus  
 (insulin-dependent; preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)

IT Diabetes mellitus  
 (non-insulin-dependent; preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)

IT Antidiabetic agents  
 (preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)

IT Glycosides  
 Heterocyclic compounds  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)

IT 50-99-7, D-Glucose, biological studies  
 RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (blood; preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)

IT 647834-13-7P 647834-14-8P 647834-15-9P 647834-17-1P  
 647834-19-3P 647834-40-0P 647834-48-8P 647834-49-9P  
 647834-50-2P 647834-52-4P 647834-55-7P 647834-59-1P  
 647834-61-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)

IT 100-07-2, 4-Methoxybenzoyl chloride 100-46-9, Benzylamine, reactions  
 122-04-3, 4-Nitrobenzoyl chloride 123-11-5, 4-Methoxybenzaldehyde,  
 reactions 572-09-8 5118-06-9 5556-07-0 6638-79-5,  
 N,O-Dimethylhydroxylamine hydrochloride 13139-86-1,  
 4-Methoxyphenylmagnesium bromide 17573-92-1, 3-Methoxythiophene  
 113589-30-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation and therapeutic evaluation of thiophene glycosides for use

in the treatment of diabetes or for lowering blood sugar levels)

IT 5118-07-0P 5118-08-1P 35134-07-7P 647833-64-5P 647833-67-8P  
 647833-69-0P 647833-71-4P 647833-73-6P 647833-78-1P  
 647833-80-5P 647833-82-7P 647833-87-2P 647833-89-4P  
 647833-91-8P 647833-93-0P 647833-95-2P 647833-98-5P  
 647834-01-3P 647834-03-5P 647834-64-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)  
 (preparation and therapeutic evaluation of thiophene glycosides for use  
 in the treatment of diabetes or for lowering blood sugar levels)

IT 647833-62-3P 647833-85-0P 647834-16-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic  
 use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or  
 reagent); USES (Uses)  
 (preparation and therapeutic evaluation of thiophene glycosides for use  
 in the treatment of diabetes or for lowering blood sugar levels)

IT 647834-05-7P 647834-07-9P 647834-09-1P 647834-11-5P  
 647834-18-2P 647834-20-6P 647834-21-7P 647834-22-8P  
 647834-23-9P 647834-24-0P 647834-25-1P 647834-26-2P  
 647834-27-3P 647834-28-4P 647834-29-5P 647834-30-8P  
 647834-31-9P 647834-32-0P 647834-33-1P 647834-34-2P  
 647834-35-3P 647834-36-4P 647834-37-5P 647834-38-6P  
 647834-39-7P 647834-41-1P 647834-42-2P 647834-43-3P  
 647834-44-4P 647834-45-5P 647834-46-6P 647834-47-7P  
 647834-51-3P 647834-53-5P 647834-54-6P 647834-56-8P  
 647834-57-9P 647834-58-0P 647834-60-4P 647834-62-6P  
 647834-63-7P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and therapeutic evaluation of thiophene glycosides for use  
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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR  
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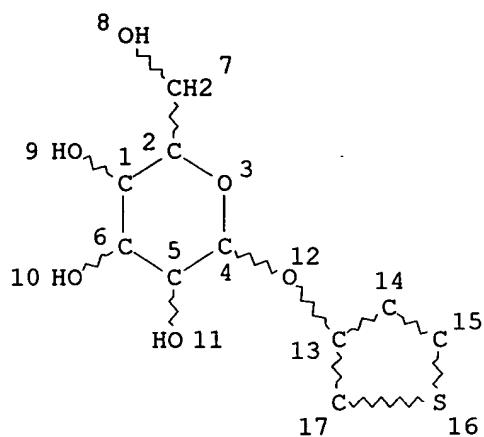
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 (COVERAGE TO THESE DATES IS NOT COMPLETE):

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 DE 10322109 04 MAY 2004  
 EP 1491180 29 DEC 2004  
 JP 2004196848 15 JUL 2004  
 WO 2005027842 31 MAR 2005

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ATTRIBUTES SPECIFIED AT SEARCH-TIME:

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ALL RING(S) ARE ISOLATED

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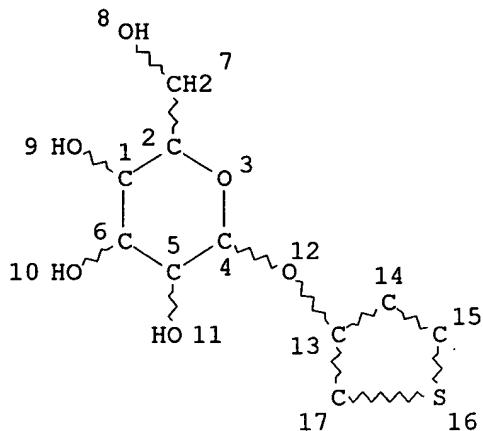
100.0% PROCESSED 11 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L3 58 SEA FILE=REGISTRY SSS FUL L1  
L4 58 SEA FILE=REGISTRY ABB=ON PLU=ON L3 AND NR=>3

(FILE 'CAPLUS' ENTERED AT 09:39:07 ON 19 MAY 2005)  
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 09:41:09 ON 19 MAY 2005  
L1 STR  
L2 1 SEA SSS SAM L1  
L3 58 SEA SSS FUL L1

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L\*\*\* DEL 1 S L3  
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L4 58 SEA ABB=ON PLU=ON L3 AND NR=>3

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L5 1 SEA ABB=ON PLU=ON L4  
D IBIB ABS HITSTR

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L6 0 SEA ABB=ON PLU=ON L4

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L7 1 SEA ABB=ON PLU=ON L4  
D IBIB ABS

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 09:45:37 ON 19 MAY 2005  
L8 0 SEA ABB=ON PLU=ON L4

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D L1  
L9 0 SEA SSS SAM L1 (MODIFIED ATTRIBUTES)  
L10 2 SEA SSS FUL L1 (MODIFIED ATTRIBUTES)  
D QUE STAT  
D 1-2 .BEVMAR

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D QUE STAT

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FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4  
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FILE LAST UPDATED: 18 May 2005 (20050518/ED)

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FILE CAOLD  
FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

FILE USPATFULL  
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 17 May 2005 (20050517/PD)  
FILE LAST UPDATED: 17 May 2005 (20050517/ED)  
HIGHEST GRANTED PATENT NUMBER: US6895596  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005102725  
CA INDEXING IS CURRENT THROUGH 17 May 2005 (20050517/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 17 May 2005 (20050517/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2005  
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FILE MEDLINE

FILE LAST UPDATED: 18 MAY 2005 (20050518/UP). FILE COVERS 1950 TO DA

On December 19, 2004, the 2005 MeSH terms were loaded.

The MEDLINE reload for 2005 is now available. For details enter HELP RLOAD at an arrow prompt (=>). See also:

<http://www.nlm.nih.gov/mesh/>

[http://www.nlm.nih.gov/pubs/techbull/nd04/nd04\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html)

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary.

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FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 18 May 2005 (20050518/ED)

FILE RELOADED: 19 October 2003.

FILE EMBASE

FILE COVERS 1974 TO 12 May 2005 (20050512/ED)

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US 6861546 01 MAR 2005  
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Structure search limits have been raised. See HELP SLIMIT for the new higher limits.

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